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                 CHEMLIST enhanced with New Zealand Inventory of Chemicals
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        JAN 16 CA/Caplus Company Name Thesaurus enhanced and reloaded
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        JAN 16
NEWS
        JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
        JAN 22
NEWS
                 CA/CAplus updated with revised CAS roles
         JAN 22
NEWS
                 CA/CAplus enhanced with patent applications from India
         JAN 29
                 PHAR reloaded with new search and display fields
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         JAN 29
NEWS
                 CAS Registry Number crossover limit increased to 300,000 in
                 multiple databases
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        FEB 15
                 PATDPASPC enhanced with Drug Approval numbers
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        FEB 15
                 RUSSIAPAT enhanced with pre-1994 records
        FEB 23
                 KOREAPAT enhanced with IPC 8 features and functionality
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NEWS 13
        FEB 26
                MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
        FEB 26
NEWS 15
                 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26
                IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17
        FEB 26 CAS Registry Number crossover limit increased from 10,000
                 to 300,000 in multiple databases
NEWS 18 MAR 15
                WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02
                JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30
                INPADOC replaced by INPADOCDB on STN
                 New CAS web site launched
NEWS 28 MAY 01
        MAY 08
NEWS 29
                 CA/CAplus Indian patent publication number format defined
NEWS 30 MAY 14
                 RDISCLOSURE on STN Easy enhanced with new search and display
                 fields
NEWS 31
        MAY 21
                 BIOSIS reloaded and enhanced with archival data
NEWS 32
        MAY 21
                 TOXCENTER enhanced with BIOSIS reload
NEWS 33
        MAY 21
                 CA/CAplus enhanced with additional kind codes for German
                 patents
NEWS 34
        MAY 22
                 CA/CAplus enhanced with IPC reclassification in Japanese
                 patents
NEWS EXPRESS
             NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
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ring nodes :
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ring/chain nodes:
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chain bonds :
4-12 6-11 8-10 11-15 12-22 15-16 22-23 22-25 23-24 25-26
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1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 16-17 16-21 17-18 18-19 19-20
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exact/norm bonds :
2-7 4-12 6-11 7-8 8-10 11-15 12-22 23-24 25-26
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isolated ring systems :
containing 1:
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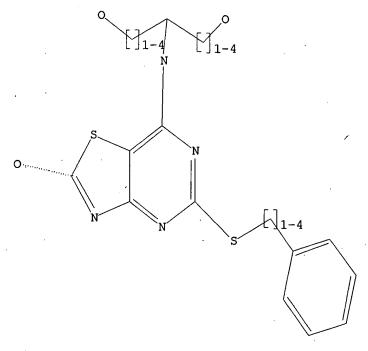
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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

L1 STRUCTURE UPLOADED

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G1 H, Me

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 18:32:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED

5 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

5 TO 234

PROJECTED ANSWERS:

0 TO

L2

0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 18:32:29 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

120 TO ITERATE

100.0% PROCESSED

120 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

L3

14 SEA SSS FUL L1

=> fil cap

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY

SESSION

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=> s 13

L4

4 L3

=> d 14 ibib hitstr abs 1-4

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:547606 CAPLUS

DOCUMENT NUMBER:

143:78206

TITLE:

Process for preparation of 5-difluorobenzylthio-7-

aminothiazolo[4,5-d]pyrimidin-2(3H)-ones via

protection and amination reactions.

INVENTOR(S):

Butters, Michael; Wisedale, Richard; Thomson, Colin;

Welham, Matthew James; Watts, Andrew

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 25 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent English .

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIN	KIND DATE			APPLICATION NO.						DATE			
	WO 2005056563 WO 2005056563								. 1	WO 2	004-	20041202						
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	2546														_			
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BR	BR 2004017300				Α		2007	0306	BR 2004-17300						20041202			

JP 2007513131 T 20070524 JP 2006-542009 20041202 NO 2006003111 A 20060905 NO 2006-3111 20060704 PRIORITY APPLN. INFO.: GB 2003-28243 A 20031205 WO 2004-GB5072 W 20041202

OTHER SOURCE(S):

MARPAT 143:78206

IT 676345-23-6P 855476-57-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(claimed compound; preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)

RN 676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)

Na

RN 855476-57-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt
(9CI) (CA INDEX NAME)

F
$$CH_2-S$$
 N Me $NH-C-CH_2-OH$ CH_2-OH

$$O = \bigvee_{N \in \mathbb{N}} \bigvee_{N \in \mathbb{N}} \bigvee_{SR1} \bigvee_{I} O = \bigvee_{N \in \mathbb{N}} \bigvee_{SR1} \bigvee_{II} O = \bigvee_{N \in \mathbb{N}} \bigvee_{II} O = \bigvee_{N \in \mathbb{N}}$$

AΒ Title compds. I [R1 = (substituted) carbocyclyl, alkyl, alkenyl, alkynyl, aryl, heteroaryl; R2, R3 = H, (substituted) alkyl, carbocyclyl, alkenyl, alkynyl], were prepared by treatment of precursors II (R1 as above; L = leaving group; Q = H) with a protecting reagent to give I; (R1, L as above; Q = protecting group), treatment of the latter with HNR2R3 (R2, R3 as above), and deprotection. Thus, 7-chloro-5-[[(2,3difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one (preparation given) and p-TsOH in PhMe at 60° was treated with 3,4-dihydropyran over 1 h and maintained at 60° for 2 h. The mixture was cooled, stirred with aqueous NaHCO3 and then brine and the resulting solution was heated

with THF, Na2CO3, and D-alaninol followed by heating at 60° for 11.5 h and at 65° for 24 h to give 5-[[(2,3difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-2(3H)-one. The latter in MeCN/H2O/THF at 65° was treated with 1N HCl over 3 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one.

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:267340 CAPLUS

DOCUMENT NUMBER:

140:303689

TITLE:

Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-

{[(2-hydroxy-1-(hydroxymethyl)-1-

methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one

as CXCR2 receptor antagonist

INVENTOR(S):

Bonnert, Roger Victor

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 23 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
					A1 20040401				WO 2003-GB3998									
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EP	1543013 A1 20050622				0622	1	EP 2	003-	7482	63		20030916						
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BR 2003	014844 A	. 2	0050809 E	3R	2003-14844		20030916
CN 1681	826 A	. 2	0051012 C	CN	2003-822335		20030916
JP 2006	503835 т	2	0060202 J	JΡ	2004-537276		20030916
NZ 53882	26 A	. 2	0061222 N	ΙZ	2003-538826		20030916
ZA 2005	002272 A	. 2	0050919 z	ZΑ	2005-2272		20050317
NO 2005	001892 A	. 2	0050617 N	10	2005-1892		20050419
US 2006	100221 A	1 2	0060511 U	JS	2005-528316		20051201
PRIORITY APP	LN. INFO.:		G	βB	2002-21828	Α	20020920
			· W	IO.	2003-GB3998	W	20030916

OTHER SOURCE(S): MARPAT 140:303689

T 676345-22-5P 676345-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(multi-step preparation of $5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(2-\text{hydroxy-1-(hydroxymethyl})-1-\text{methylethyl}]\text{amino}\}\text{thiazolo}[4,5-\text{d}]\text{pyrimidin-2(3H)-one as CXCR2 receptor antagonist)}$

RN 676345-22-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]- (9CI) (CA INDEX NAME).

RN 676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)

Na

IT 676345-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(multi-step preparation of $5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(2-\text{hydroxy-1-(hydroxymethyl})-1-\text{methylethyl}]\text{amino}\}\text{thiazolo}[4,5-\text{d}]\text{pyrimidin-}2\cdot(3\text{H})-\text{one as CXCR2 receptor antagonist})$

RN 676345-26-9 CAPLUS

CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I and its monosodium salt, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., were prepared in a multi-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

2

ACCESSION NUMBER:

2004:267303 CAPLUS

DOCUMENT NUMBER:

140:303685

TITLE:

Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thia

zolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor

antagonist

INVENTOR(S):

Brough, Stephen John; McInally, Thomas

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WO 2004026835					A1 20040401			WO 2003-GB4000						20030916				
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PRIORITY APPLN. INFO.:
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                                             WO 2003-GB4000
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OTHER SOURCE(S):
                         MARPAT 140:303685
     676345-69-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-
        2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-
        one as CXCR2 receptor antagonist)
RN
     676345-69-0 CAPLUS
     Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-
CN
     [[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The title compound I, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., was prepared in a 7-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 µM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is

claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:265425 CAPLUS

DOCUMENT NUMBER:

134:280857

TITLE:

Preparation of novel thiazolo[4,5-d]pyrimidines as

modulators of chemokine receptors

INVENTOR(S):

Willis, Paul Andrew; Bonnert, Roger Victor; Hunt,

Simon Fraser; Walters, Iain Alistair Stewart

PATENT ASSIGNEE(S):

Astrazeneca UK Limited, UK

SOURCE:

PCT Int. Appl., 85 pp. CODEN: PIXXD2

Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

:	PATENT NO.						D D	DATE	TE APPLICATION NO.					DATE				
1	WO 2001025242				A1	20010412			WO 2000-GB3692						20000926			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA	CH,	CN,
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			HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP	, KR,	KZ,	LC,	LK,	LR	LS,	LT,
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT	, LU,	MC,	NL,	PT,	SE	BF.	ВJ.
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			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	\mathbf{AL}			•		•	-	•
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PRIOR	ITY	APP	LN.	INFO	.:	•					SE 1999-3544					A 19991001		
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											WO	2000-	GB36	92	1		20000	
											US	2002-	8957	1		A1 2	20020	329
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OTHER SOURCE(S): MARPAT 134:280857

IT 333742-86-2P 333742-87-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-86-2 CAPLUS

CN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(methoxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 333742-87-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]-(9CI) (CA INDEX NAME)

$$HO-CH_2$$
 $HO-CH_2-CH-NH$
 N
 S
 O
 $Ph-CH_2-S$
 N

IT 333742-50-0P 333742-72-6P 333742-91-9P

333742-92-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-50-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 333742-72-6 CAPLUS

CN Propanamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-

oxothiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-91-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-/[[2-hydroxy-1-(methoxymethyl)ethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 333742-92-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)

RN 333743-99-0 CAPLUS
CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 333744-02-8 CAPLUS
CN 1,3-Propanediol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ \text{HO-CH2-CH-NH} \\ & \text{N} \end{array}$$

GI

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.], useful in treating a chemokine mediated disease, were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = CMe2CH2OH; R3 = H] was described. The compds. I were tested and found to be antagonists of the CXCR2 receptor in human neutrophils.

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	21.55	193.86
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -3.12	SESSION -3.12

STN INTERNATIONAL LOGOFF AT 18:32:49 ON 13 JUN 2007